
(12) **UK Patent Application** (19) **GB** (11) **2 002 233 A**

- (21) Application No 7842249
(22) Date of filing 11 Sep 1978
(23) Claims filed 11 Sep 1978
(30) Priority data
(31) 32303/77
(32) 2 Aug 1977
(33) United Kingdom(GB)
(43) Application published
21 Feb 1979
(51) INT CL²
A61K 31/00
(52) Domestic classification
A5B 170 190 30X 30Y 327
32Y 365 36Y 382 38Y 420
422 42Y 443 44Y 451 45Y
482 48Y 501 50Y 540 541
542 54Y 565 566 56Y 586
58Y 674 67Y J
(56) Documents cited
Monthly Index of medical
specialities (MIMS)
Vol.17 No.3 March 1975
Section 4C on Pp 75 and
76
(58) Field of search
A5B
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**(54) Topical compositions
containing vasodilators**

(57) A lotion, cream or ointment or impregnated dressing is used on an area of the body selected for localised slimming when the condition of the blood is such that it is taking up components stored in its adipose tissues and contains vasodilators such as nicotinic esters and salicylate esters. Counter irritants such as capsicums and histamine di-hydrochloride; alcohol or other agent to aid absorption through the skin, and a vehicle such as propylene glycol or glycerol may be present.

GB 2 002 233 A

SPECIFICATION

Product for promoting the preferential removal of adipose tissue from selected parts of the human body.

- 5 [British Patent Application 34471/78] (Serial No 2002232) relates to a process for selectively removing adipose tissue from certain parts of the human body. More particularly it relates to an adjunct to
- 10 known processes of 'slimming' so that certain parts of the body are preferentially affected by the slimming treatment. It is therefore more particularly concerned with the application of slimming treatments for aesthetic or cosmetic purposes. The present
- 15 Application relates to lotions, creams, embrocations & impregnated dressings and the like which are specially effective in promoting selective slimming in the areas of the human body to which they are applied.
- 20 Various methods are at present employed for slimming for cosmetic purposes.
- One method which is widely marketed at the present time is that which involves 'sweating it off'. This treatment takes the form of steam and other baths as
- 25 well as garments designed to cause the person to sweat. Such methods, however, are only really effective in removing obesity due to fluid retention. One disadvantage of this is that such treatment will itself engender thirst, which in turn will cause a fresh
- 30 intake of fluids to make up for what has been lost. Such slimming results are therefore for the most part ephemeral. A more serious criticism of this form of slimming is that fluid retention is a pathological condition which calls for more serious medical investigation and therapeutical steps based on a
- 35 thorough diagnosis.
- Another method employed in widely differing forms and often in conjunction with other methods is that involving exercise. The said exercise may be
- 40 either performed by the subject himself (or herself) or may take the form of movements induced by massage (manual or mechanical). In either case the aim is to promote the consumption of energy by the body, thus favouring the utilisation of fats and carbohydrates instead of the deposition of fat in the
- 45 tissues.
- The only truly fundamental methods employed for the removal of fat which has already been deposited in the tissues are those which involve dieting. The
- 50 usual methods involve cutting down the intake of carbohydrates and fats and the maintenance of a high protein diet. Such dieting may or may not be supplemented by ancillary treatment, usually aimed at making compliance with a strict diet more pleasant, or at least less unpleasant. Such ancillary treatment may consist in the taking of certain medica-
- 55 ments, e.g. those producing euphoria, those stimulating activity, those which depress the appetite. Sometimes the persons concerned consume products which subsequently swell in the stomach so as to give a feelings of repleteness.
- The basic principles of a high-protein, low-carbohydrate diet for slimming purposes is that the
- 60 body is supplied with proteins, vitamins etc, necessary for tissue replacement but is deliberately kept

short of the energy-producing foods. As a result of this the body is compelled to dip into its reserves of energy foods, which take the form of fat deposited in the connective tissue.

- 70 From the purely cosmetic or aesthetic point of view, a serious drawback of this method of slimming is that it is left entirely to the body which reserves of fat will be utilised. The consequence is that the fat is very often removed from those parts of the body
- 75 where it constitutes a cosmetic advantage, whilst the deposits regarded as ugly from the aesthetic point of view remain untouched. Very often, too, the cosmetically undesired fat deposits do yield to dieting treatment but only after a considerable amount of fat
- 80 has been removed from other parts of the body. Thus it is frequently a complaint of women undergoing slimming treatment that they lose fat from the face and/or bust, but retain adipose tissue on the abdomen and/or thighs.
- 85 The aim of the Invention of British Patent Application No 34471/78 is to make it possible when slimming by means of a high-protein, low-carbohydrate diet to select which sites of fat in the body will be preferentially utilised by the body to make up for the
- 90 deliberate deficit in the diet.
- The mechanism by which the body stores fat and then re-utilises it is a very complicated one. Nevertheless, it may be reduced to a simplified statement that the fats are carried by the blood to the
- 95 connective tissue where they are deposited and on the other hand that the fats deposited in the connective tissue are again picked up by the blood when the body has need of them, such as when the subject is having a low-carbohydrate diet. The direction in
- 100 which this process takes place depends upon the constitution of the blood at the time. It is known for instance, that for fats to be taken up by the blood from deposits in the connective tissue, they must first of all be split into their component fatty acids
- 105 and glycerin. Only these - and not the fats (triglycerides) themselves - are capable of passing through the walls of the blood vessels and thus into the blood stream. This splitting of the triglycerides into fatty acids and glycerin is brought about by the
- 110 enzyme lipase, which is normally present. However, the action of lipase is known to be inhibited by insulin and, in turn, it is known that the secretion by the body of insulin is increased by the presence of carbohydrates in the diet. In other words - and in greatly
- 115 simplified form - the intake of starch and sugar promotes the secretion of insulin which inhibits the action of lipase, thus ensuring that the reserve fatty deposits in the body are left untouched. But if the subject follows a high-protein low-carbohydrate
- 120 diet, the secretion of insulin is reduced, the lipase is then free to act on the triglycerides of the adipose tissue and the adipose tissue is gradually dispersed as the fatty acids and glycerin so formed pass through the walls of the blood vessels and into the
- 125 blood stream.
- It is, of course, understood that this is not intended as a complete explanation of what happens. It is at best a simplified picture. The fact remains that the mechanism of slimming by diet is to cause changes
- 130 to occur in the blood, as a result of which it will break

down and 'pick up' the fatty deposits by employing a process which is entirely natural to the functions of the body.

The "naturalness" of this purpose is of some importance here. One could easily envisage some form of deliberate intervention in the composition of the blood, for example by injection or the like, in order to produce a "pro-slimming" reaction. Thus, for instance, experiments have already been carried out on the use of ethyl p-chlorophenyl oxyisobutyrate carried out on the use of ethyl p-chlorophenyl oxyisobutyrate for the purpose of influencing the β/α lipoprotein ration and the level of cholesterolaemia. Nevertheless, many other physical conditions are bound up with these factors and will generally be agreed that to interfere with the composition of the blood by direct means, in the present limited state of our knowledge, would not be justified for purely cosmetic reasons.

We are therefore left with the expedient of selective diet restriction for inducing 'naturally' in the blood the conditions under which the fats are split into fatty acids and glycerin and in this form pass through the vessel walls into the bloodstream. The purpose of the present invention is to influence which particular fat deposits are selectively picked up by the blood stream.

It has been found that products possessing vasodilatory effects, if applied at a time when the blood is in the condition just described, can cause the selective resorption of the fats at the site where they are applied.

They produce this effect by the interplay of two different factors:

In the first place the effect of local vasodilatation is to increase the flow of blood through that particular zone. All other factors being equal, the amount of fat taken up by the blood (provided it is in the condition required for fat take-up) is directly proportional to the blood flow. It follows from this - and this has been confirmed by experiment - that since the blood in a given condition is predisposed to take up a certain amount of fat, the smaller the area in which the vasodilatation takes place, the greater the selectivity of the 'pick-up'. Conversely, if a general vasodilator drug were given to the person concerned, the amount of fat resorbed would not be altered and there would be no selectivity in regard to site.

In the second place it is known that whilst triglyceride molecules are too large to pass through the membranes of the blood vessels, the molecules of glycerin and the fatty acids commonly met with in body fats are just capable of passing through. It has been shown by experiment that vasodilatation can increase by many times the permeability of the blood vessel walls to fatty acids and glycerin.

From the point of view selective slimming it will be seen that it is essential that these two factors should only be brought into play when the blood is disposed to pick up fats rather than to deposit them.

Researches have shown that the condition of the blood required for this purpose is usually established $\frac{1}{2}$ to 1 hour after a high-protein low-carbohydrate meal. Sometimes it is already fully established within $\frac{1}{2}$ hour and sometimes the

optimum condition is not reached until $1\frac{1}{2}$ hours after the meal. As fats are picked up from the body reserves, the condition of the blood gradually returned to 'normal' after $1\frac{1}{2}$ to 2 hours.

The process of selective slimming according to the present invention therefore consists of taking a high-protein low-carbohydrate (or better still, no carbohydrate) diet and applying suitable vasodilators to the part of the body where selective slimming is desired approximately one hour after such a meal.

As it is important that the vasodilatation should coincide as far as possible with the optimum blood condition for pick-up it is also desirable that the vasodilatation effect should cease 2-3 hours after the meal, either as a result of the products losing their vasodilatory effect or as a result of their being neutralised or washed off.

It will be appreciated that the results will be more satisfactory if the person concerned will keep to a rigid low-carbohydrate diet during a course of slimming. Nevertheless, limited selective results are also possible even though the patient follows a normal diet, provided that the meal after which the vasodilators were applied contained little or no carbohydrates.

The present invention relates to a particularly advantageous preparation to serve a "selector lotion" in conjunction with the slimming process of British Patent Application No 34471/78 of 24 Aug 1978 (Serial No 2 002 232)

It has been found that a good vasodilatory effect for the purpose of encouraging the local resorption of adipose tissue can be obtained by means of a suitable blend of salicylate esters, with or without the addition of salts or esters of nicotinic acid.

Of the salicylate esters it has been found that ethylene glycol monosalicylate greatly promotes such resorption and that this effect can be enhanced by the inclusion of a small amount of ethyl alcohol in the formula. This is doubtless due to the increase in the cutaneous adsorption. The optimum proportion for increasing the cutaneous absorption has been found to be in the region of equal parts by weight of ethylene glycol monosalicylate and ethyl alcohol. It has also been found that propylene glycol will increase absorption by the tissues.

Of the nicotinic esters suitable for incorporation, methyl nicotinate has been found very suitable.

At the same time it is, of course, also possible to add small quantities of counter-irritants, such as capsin and histamine dihydrochloride. Naturally, such a composition can also be perfumed if desired.

An example of a suitable Selector Lotion according to the invention is:

Methyl nicotinate	1.0% by wt.
Ethylene glycol monosalicylate	4.0% by wt.
Diethylamine salicylate	0.5% by wt.
Capsicin	0.05% by wt.
Ethyl alcohol	5.0% by wt.
Histamine dihydrochloride	0.05% by wt.
Propyleneglycol	to 100%

The above formula is only given by way of example of a "selector lotion" according to the present invention and the proportions and actual ingredients

may be varied within very wide limits without thereby falling outside the scope of the present invention.

5 CLAIMS

1. "Selector Lotion" for application to parts of the body to favour local removal of fat during slimming treatment by diet, characterised by the fact that
10 it contains a suitable blend of vaso-dilators.
2. "Selector Lotion" in accordance with Claim 1, characterised by the fact that the vaso-dilators or some of the vaso-dilators used are salicylate esters or salts.
- 15 3. "Selector Lotion" in accordance with Claim 1, characterised by the fact that the vaso-dilators or some of the vaso-dilators used are nicotinate esters or salts.
4. "Selector Lotion" in accordance with Claim 1
20 to 3, characterised by the fact that ethyl alcohol is added to enhance cutaneous absorption.
5. "Selector Lotion" in accordance with Claim 1 to 4, characterised by the fact that propyleneglycol is used as the vehicle.
- 25 6. "Selector Lotion" in accordance with Claim 1 to 5, characterised by the fact that the Lotion contains a counter-irritant.
7. "Selector Lotion" in accordance with Claim 6,
30 characterised by the fact that the counter-irritant is capsaicin.
8. "Selector Lotion" in accordance with Claim 6, characterised by the fact that the counter-irritant is histamine dihydrochloride.
9. "Selector Lotion" in accordance with Claim 1
35 to 8, characterised by the fact that the Lotion is perfumed.
10. "Selector Lotion" in accordance with Claim 1 to 9, characterised by the fact that the Lotion is coloured.